CALYPSO: A NEW NEONICOTINOID INSECTICIDE FOR COTTON AND SEVERAL OTHER CROPS

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Abstract

Thiacloprid, N-[3-(6-Chloro-pyridine-3-ylmethyl)-thiazolidine-2-ylidene]-cyanamide is a highly active, novel, insect control agent with broad spectrum efficacy against sucking insects at 0.025 to 0.25 lb ai/acre depending on crop, pest and application type. Five years of field studies revealed excellent control of important pests in cotton, pome fruit, vegetables, potatoes and various other crops. Besides aphids, leafhoppers, plant bugs, and whiteflies, it is also active against various species of beetles (e.g. *Leptinotarsa decemlineata, Anthonomus pomorum),* and lepidoptera such as leaf miners, codling moth, pink bollworm, and others. Thiacloprid has excellent plant compatibility in all relevant crops.

Like imidacloprid, thiacloprid acts agonistically on the nicotinic acetyl choline receptor. As a result there is no cross resistance to conventional insecticides such as pyrethroids, organophosphates, and carbamates and consequently, thiacloprid will fit well into resistance management strategies. Thiacloprid is an acute contact and stomach poison with systemic properties.

Thiacloprid has a favorable environmental profile with a short half-life in soil, and good margins of safety for birds, fish species, and many beneficial arthropods. The low toxicity to bees also allows its application during the blossom period of bee-attractive crops. Due to its low acute toxicity to mammals the product is safe for operators and consumers.

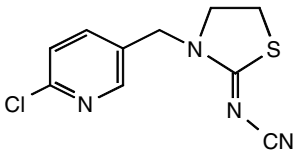
Introduction

The chloronicotinyl (syn. neonicotinoid) insecticide imidacloprid represents the most successful active ingredient to be discovered and introduced in recent years for the control of sucking and some chewing pests in agriculture. As a consequence, an extensive research and development program performed by Bayer AG, Germany jointly with Nihon Bayer Agrochem, Japan and Bayer Corporation, USA, led to the discovery of a second active molecule from this chemical class: thiacloprid.

The physico-chemical and toxicological properties, and the environmental behavior of the new active ingredient are presented herein. Only a few examples illustrating the biological profile, evaluated in laboratory, greenhouse, and field studies are presented. Additional examples are the subject of several other publications in the proceedings of the 2001 Beltwide Cotton Conferences. The product will be registered world wide under the trade name Calypso®. Market introduction is expected for Brazil, Europe, Japan and US between the years 2000 and 2003.

Chemical and Physical Properties

Structural Formula:



Common Name:	Thiacloprid
Chemical Name, IUPAC:	N-[3-(6-Chloro-pyridine-3-ylmethyl)-thiazolidine-2-ylidene]-cyanamide
CAS:	[3-[6-chloro-3-pyridinyl)methyl]-2-thiazolidinylidene]-cyanamide
CAS No.:	111988-49-9
Empirical Formula:	$C_{10}H_9ClN_4S$
Molecular Weight:	252.8 g/mol
Appearance:	yellowish powder
Vapour pressure:	3×10^{-12} hPa at 20 ° C
Solubility in water:	185 mg/l at 20°C

Formulations

4F, 70 WG. Good miscibility with conventional crop protection products.

Human Safety

Acute oral LD_{50} rat (males):	836 mg/kg body weight
(females):	444 mg/kg body weight
Acute dermal LD_{50} (24h) rat (males, females):	> 2000 mg/kg body weight
Acute inhalation LC_{50} (4 h, aerosol) rat (males):	> 2535 mg/m^3 air
(females):	~ 1223 mg/m^3 air
Skin irritation (4 hours) rabbit:	no irritation
Eye irritation (24 hours) rabbit:	no irritation
Skin sensitation guinea pig:	no skin sensitation
Chronic toxicity/carcogenicity rats:	NOAEL 50 ppm no primary carcinogenic potential
Chronic toxicity in dogs:	NOAEL 250 ppm
Subchronic neurotoxicity in rats:	NOAEL 1600 ppm

Developmental toxicity:	no primary developmental toxicity in rats and in rabbits
Reproductive toxicity:	a two-generation reproduction study in rats revealed a reproductive, neonatal and parental NOAEL of 50 ppm
Genotoxicity:	no evidence of a genotoxic or mutagenic potential

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Environmental Safety

Rainbow trout, acute toxicity LC_{50} (96 h),	30.5 mg/l
Daphnia magna EC_{50} (48 h at 20°C):	≥85.1 mg/l
Algae EC_{50} (72 h at 20°C)	
Scenedesmus subspicatus	97 mg/l
Bobwhite quail, acute toxicity LD_{50},	2716 mg/kg
Earthworms (mg/kg dry weight substrate)	
Eisenia fetida LC_{50} (14-day at 20° C)	105 mg/kg
Honey bee, LD_{50} oral and contact	5.3 and 24.2 µg/bee

Environmental Fate

Soil half-life (6 soils):	0.4 - 2.7 days
Soil mobility (6 soils):	low to medium

Biological Profile

Laboratory Studies

The spectrum of activity of thiacloprid against important agricultural pests is summarized in Table 1. The LC50 values determined in laboratory and greenhouse tests demonstrate thiacloprid's high intrinsic potency as compared to excellent standards. The spectrum of activity includes sucking insect pests as well as important lepidopterous and coleopterous species.

Field Studies

Figures 1 to 3 illustrate the excellent activity of Calypso against key pests in cotton under field conditions.

In a 1998 trial in California, Calypso was compared to Provado and thiamethoxam for cotton aphid control (Figure 1). At 7 and 14 days after a single application, Calypso performed at least as good as the standard and the comparative product. At 21 and 28 days after treatment, the superior residual control of Calypso becomes evident, even when it is used at lower rates.

The results of a 1999 field trial conducted in Arizona demonstrate excellent activity of Calypso on silverleaf whitefly (Figure 2). Each test material was applied twice with a 7-day interval between applications. At 5 days following the last treatment, both the 0.047 lb ai and the 0.094 lb ai/acre Calypso treatments performed equally well, both being more effective than the comparative test materials. The high rate of Calypso stands out as the best treatment with respect to residual control, evaluated at 11 days after the last treatment.

In two tarnished plant bug trials conducted in 1999 in Mississippi, the focus of the evaluations was on the effect of Calypso on square retention (Figure 3). Evaluations in Test A were conducted 7 days after the third application; infestation pressure was moderate. Test B was evaluated 6 days after the fourth application; infestation pressure was high.

In both tests Calypso was compared to Provado which is known to have an excellent effect on boll retention. The rate of each application of both products was 0.0469 lb ai/acre. Results of both tests indicate that Calypso increased boll retention to 80% or more, providing on average the same pronounced effect as Provado.

Conclusion

Worldwide field tests of the new neonicotinoid insecticide thiacloprid have shown outstanding control in the range of 0.025 to 0.25 lb ai/acre depending on pest and crop following foliar application. In cotton the rate range for excellent performance against important pests is 0.025 – 0.096 lb ai/acre. Low honey and bumblebee toxicity allows flexible use of the product even during flowering in pollinated crops. Due to its short persistence in the environment and its safety to operators and consumers, thiacloprid can be regarded as a valuable tool in modern crop protection systems.

Acknowledgements

We would like to express our gratitude to all our colleagues involved in the product development of thiacloprid.

References

Elbert A; Nauen R; Cahill M; Devonshire A L; Scarr A W; Sone S; Steffens R (1996). Resistance management with chloronicotinyl insecticides using imidacloprid as an example. In: Pflanzenschutz-Nachrichten Bayer, 49, pp. 5-54.

Kuehnhold J (in press): Calypso – Options for a new chloronicotinyl. XXI International Congress of Entomology, Iguassu Falls, Brazil, 20th-26th August, 2000.

Nauen R; Ebbinghaus-Kintscher U; Elbert A; Jeschke P; Tietjen K (in press): Acetylcoline receptors as sites for developing neonicotinoid insecticides. In: *Biochemical Sites Important in Insecticide Action and Resistance*, (Ed. I. Ishaaya), Springer Verlag.

Table 1. Activity of thiacloprid against important agricultural pests after leaf-dip application in comparison to standard products.

	Thiacloprid		Standard		
Species	**LC_{50}, mg a.i./litre**	**cl95%**		**LC_{50}, mg a.i./litre**	**CL95%**
Myzus persicae, mp	1.5	1.4 - 1.7	methamidophos	10.1	9.0 - 11.4
Aphis fabae, mp	0.8	0.7 - 0.9	methamidophos	10.5	9.3 - 12.0
*Aphis fabae, mp**	≤ 0.6	-	carbofuran	0.3	-
Aphis gossypii, mp	0.8	0.7 - 0.9	methamidophos	19.5	17.1 - 22.2
Bemisia tabaci, mp	1.1	0.3 - 2.4	imidacloprid	3.8	1.7 - 6.8
Nephotettix cincticeps, L2	0.6	0.5 - 0.7	fenobucarb	15.6	13.7 - 18.0
Cydia pomonella L2,3	1.1	0.8 - 1.4	azinphos-methyl	0.6	0.2 - 1.9
Phaedon cochleariae, L2	18.5	15.9 -21.6	imidacloprid	19.8	17.0 - 22.8
Lissorhoptrus oryzophilus, ad	1.8	1.2 - 2.7	imidacloprid	19.1	14.3 - 25.0

*soil application, LD_{95}. mp: mixed population, L: larval stage, ad: adult, CL95%: confidence limit

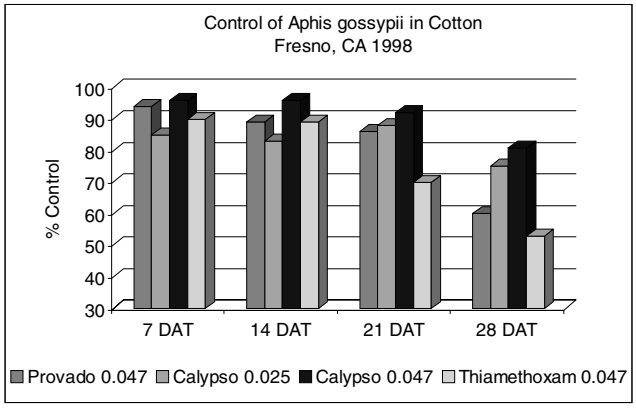

Figure 1. Control (% abbott) of *Aphis gossyppii* in cotton (Fresno, CA 1998)

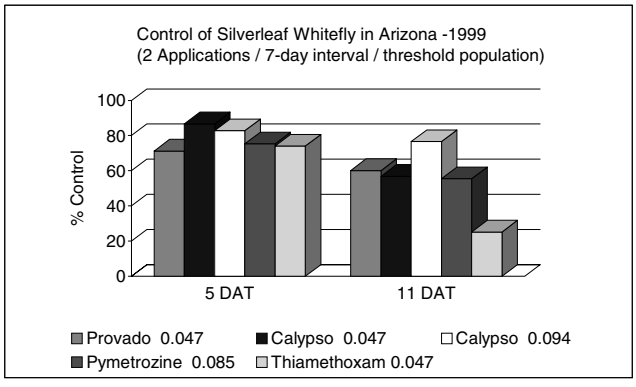

Figure 2. Control (% abbott) of silverleaf whitefly in Arizona (Maricopa, AZ 1999)

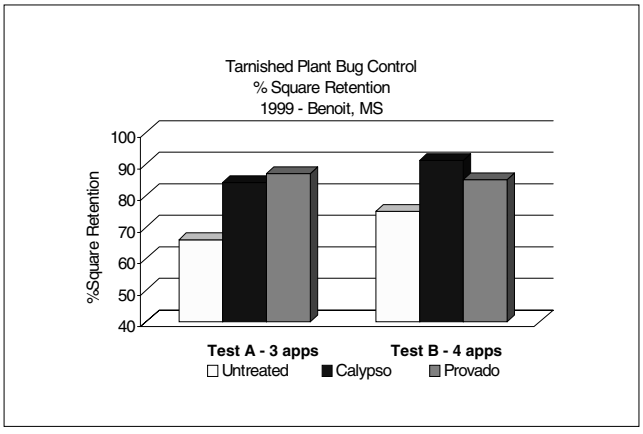

Figure 3. Control (% square retention) of *Lygus lineolaris* (Benoit, MS 1999) App rate - 0.0469 lb ai/acre/application